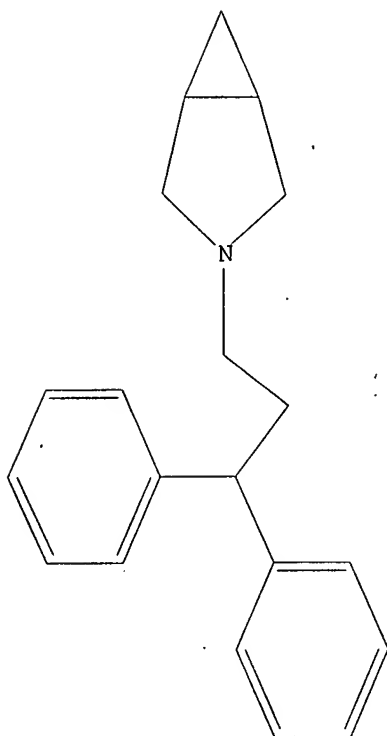


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	164	548/515.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:03
L2	572	514/412.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:03
L3	714	L1 OR L2	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:04
L4	160	L3 AND (AZABICYCLO OR "3-AZABICYCLO[3.1.0]HEXANE")	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:05

# STN structure Search (Registry/Caplus)



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:47:07 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED ✓ 656 TO ITERATE

100.0% PROCESSED ✓ 656 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 11584 TO 14656  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full ✓

FULL SEARCH INITIATED 12:47:14 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED ✓ 12628 TO ITERATE

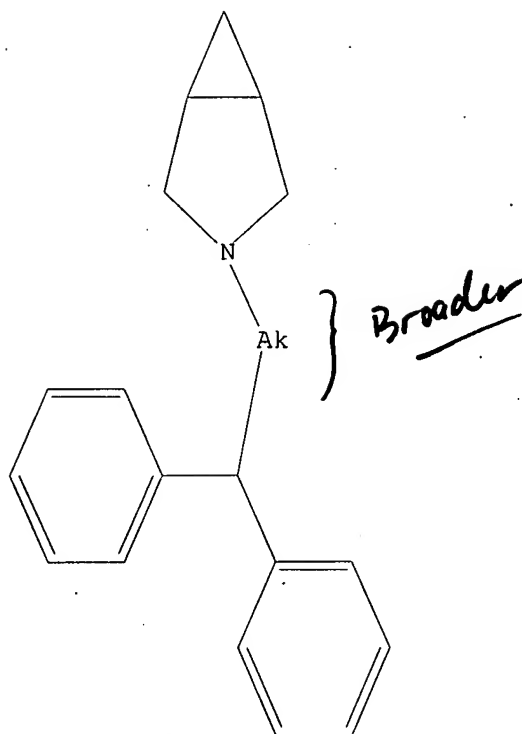
100.0% PROCESSED ✓ 12628 ITERATIONS  
SEARCH TIME: 00.00.01

L3 15 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10524081\2.str

15 ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> 's 14

SAMPLE SEARCH INITIATED 12:47:57 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 2828 TO ITERATE

70.7% PROCESSED 2000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 53371 TO 59749  
 PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 full ✓

FULL SEARCH INITIATED 12:48:06 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED ✓ 56835 TO ITERATE

100.0% PROCESSED ✓ 56835 ITERATIONS  
 SEARCH TIME: 00.00.01

L6 29 SEA SSS FUL L4

=> fil caplus  
 COST IN U.S. DOLLARS

SINCE FILE  
 ENTRY

TOTAL  
 SESSION

29 ANSWERS

FULL ESTIMATED COST

344.65

344.86

FILE 'CAPLUS' ENTERED AT 12:48:22 ON 17 JUN 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 17 Jun 2007 VOL 146 ISS 26  
FILE LAST UPDATED: 15 Jun 2007 (20070615/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 12:46:44 ON 17 JUN 2007)

FILE 'REGISTRY' ENTERED AT 12:46:52 ON 17 JUN 2007

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	15 S L1 FULL
L4	STRUCTURE UPLOADED
L5	0 S L4
L6	29 S L4 FULL

FILE 'CAPLUS' ENTERED AT 12:48:22 ON 17 JUN 2007

=> s 16

L7 12 L6

=> s 13

L8 7 L3

=> d ibib abs hitstr L7 1-12

L7 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:494402 CAPLUS

DOCUMENT NUMBER:

145:8042

TITLE:

Azabicyclic compounds as muscarinic receptor antagonists and their preparation, pharmaceutical compositions and use for treatment of disease of the respiratory, urinary and gastrointestinal systems

Kumar, Naresh; Salman, Mohammad; Kaur, Kirandeep; Mehta, Anita; Bora, Sudershan K.; Chugh, Anita

Ranbaxy Laboratories Limited, India

PCT Int. Appl., 87 pp.

CODEN: PIXXD2

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Same Inventions

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006054162	A1	20060526	WO 2005-IB3459	20051118
WO 2006054162	A8	20060720		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

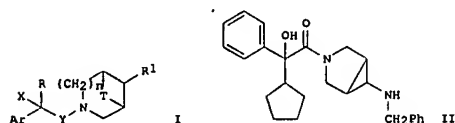
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CG, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-DE2331 A 20041119

OTHER SOURCE(S):

GI

CASREACT 145:8042; MARPAT 145:8042



AB The invention generally relates to compds. of formula I as muscarinic receptor antagonists, which are useful for treating various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to processes for preparing compds. described herein, pharmaceutical compns. containing the disclosed

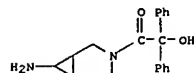
L7 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azabicyclic compds. as muscarinic receptor antagonists useful for treatment of disease of the respiratory, urinary and gastrointestinal systems)

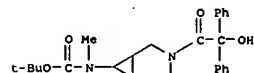
RN 888032-26-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(hydroxydiphenylacetyl)- (9CI) (CA INDEX NAME)



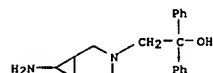
RN 888032-31-3 CAPLUS

CN Carbamic acid, [3-(hydroxydiphenylacetyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



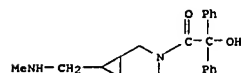
RN 888032-33-5 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-ethanol, 6-amino-α,α-diphenyl- (9CI) (CA INDEX NAME)



RN 888032-36-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-methanamine, 3-(hydroxydiphenylacetyl)-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

FORMAT

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L7 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

compds., and the methods for treating diseases mediated through muscarinic

receptors. Compds. of formula I wherein Ar is aryl, cycloalkyl, (hetero)aryl, or heterocyclyl(alkyl); X is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, (hetero)arylalkyl, or heterocyclylalkyl; R is

H,

OH, alkoxy, aryloxy, hydroxyalkyl, NH2 and derivs., halo, alkyl, alkenyl, alkynyl, cycloalkyl, or aryl; Y is CO, CS, C(=Nacyl), C(=NNO2), C(=CHNO2),

(un)substituted C=CH2, or CH2; T is (CH2)m, CQCH2, CHQ, or CH2OCH2; R1 is H, OH, alkoxy, hydroxyalkyl, aryloxy, CHO, CN, alkyl, alkenyl, alkynyl, cycloalkyl, carboxy, halo, (hetero)aryl(alkyl), acyl,

heterocyclyl(alkyl), (CH2)kNH2 and derivs., SO2R2, CO2R3, CONH2 and derivs., NH2 and derivs., OCONH2 and derivs., or NHCHO and derivs.; n is an integer from 0-2; m is an integer from 0-3; k is an integer from 1-4; Q is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl(alkyl), or heterocyclyl(alkyl); R2 is alkyl, alkenyl, alkynyl, cycloalkyl, NH2 and derivs.,

(hetero)aryl(alkyl), or (heterocyclyl)alkyl; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl alkyl or heterocyclylalkyl; and their

pharmaceutically acceptable salts, solvates stereoisomers, and polymorphs and their

Process for prepn. is claimed. Example compd. II was prepd. by hydrolysis of

tert-butyl-benzyl [3-(cyclopentyl(hydroxy)phenylacetyl)-3-azabicyclo[3.2.0]hex-6-yl]-carbamate. All the invention compds. were evaluated for their muscarinic receptor binding affinity. From the

assay, it was detd. that the example compds. exhibited pKi values for M2 from about 5 to about 8.5, from about 5 to about 7.5, and from 5 to 7.1. For M3, the tested compds. exhibited pKi values from about 6 to 8.5, from about 6.7, and from about 5 to 6.9.

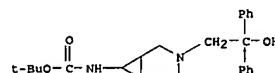
IT 888032-32-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of azabicyclic compds. as muscarinic receptor antagonists useful for treatment of disease of the respiratory, urinary and gastrointestinal systems)

RN 888032-32-4 CAPLUS

CN Carbamic acid, [3-(2-hydroxy-2,2-diphenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 888032-26-6P 888032-31-3P 888032-33-5P

888032-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

Preparation of 3-azabicyclo[3.1.0]hexane derivatives as glycine transporter inhibitors for enhancing cognition and treating psychoses

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037216	A2	20050428	WO 2004-US34083	20041014
WO 2005037216	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004281794 A1 20050428 AU 2004-281794 20041014

CA 2542279 A1 20050428 CA 2004-2542279 20041014

US 2005096375 A1 20050505 US 2004-964931 20041014

EP 1680124 A2 20060719 EP 2004-795270 20041014

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,

HR CN 1867338 A 20061122 CN 2004-80030044 20041014

BR 2004015356 A 20061212 BR 2004-15356 20041014

JP 20070405 T 20070405 JP 2006-535348 20041014

NO 2006002193 A 20060515 NO 2006-2193 20060515

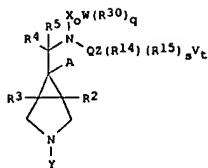
PRIORITY APPLN. INFO.: US 2003-510846P P 20031014

WO 2004-US34083 W 20041014

OTHER SOURCE(S): MARPAT 142:430124

GI

L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

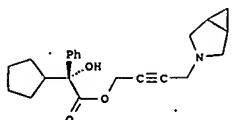


N-[3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-6-yl)phenyl]amino (II), their pharmaceutically acceptable salts, pharmaceutical compns. thereof, and their use (no data) for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Compds. of the invention analyzed by an assay for their activity in inhibiting glycine reuptake in synaptosomes have IC50 values more potent than 10 μM; no values for individual examples of I are given. For I: y = H or (R10Q) R11(R6)m: k = 0-1; l = 0-3; m = 1-3; n = 0-4; o = 0-1; p = 0-3; q = 0-4; r = 1-2; s = 0-4; t = 0-3; u = 1-3; v = 1-3; R100 is -CH2-, -CH(C1-C6)alkyl-, -C(O)- or -SO2-. R1 is -(C1-C6)alkyl, -(C3-C8)cycloalkyl, -(4 to 7 membered) heterocycloalkyl, -(CH2)1-(C6-C10 aryl or heteroaryl), -(4 to 7 membered) heteroaryl, or -(2 to 6 membered) heterohydroxyethyl; each R6 is H or (C1-C6)alkyl-B. (C1-C7)alkoxy-D, (C2-C4)alkenoxyl, (C1-C6)alkyl-OH, -OH, -CN, -NO2, -C7H7R89, -NR20R21, -NHCOalkyl(C1-C3), -NHSO2alkyl(C1-C3), (C1-O)R22, -R23C(O)R22, -C(O)NH2, phenyl-E, phenoxyl-F, morpholine, -NR20R21, aryl, heteroaryl, -SR24, and -SO2R25; B and D = H, OH, Ph, di-Ph or trifluorol; E and F = H, alkyl, or halo. R2 and R3 = H or (C1-C3)alkyl; R4 and R5 = H or (C1-C3)alkyl; or R4 and R5 taken together form a double bond to an O to form (C=O), or R4 and R5 are connected with 2 to 4 C atoms to form a 3-5

member  
-OH, carbocyclic ring; A is H or (C1-C3)alkyl-(R28)n; R28 = (C1-C3)alkoxy,  
-NR12R13 or -NHC(O)(C1-C4)alkyl; X is a bond, -CH2(R29)p, -C(O) or -SO2;  
R29 is -(C1-C3)alkyl; W is alkyl, -(C3-C6)cycloalkyl, -(3 to 7 membered)  
heterocycloalkyl, -(3 to 7 membered) heterocycloalkyl with 1 or 2 C=O  
groups, Ph, or -(5 to 7 member) heteroaryl or heterocyclic; R30 is  
-(C1-C4)alkyl, -(C1-C3)alkoxy, CN, -F, -Cl, -Br, -I, -NR18R19,  
-NHC(O)R18,  
-SCH3 or -C(O)CH3. Q is a bond, -CH(R31)z, -C(O) or SO2; R31 = H or  
(C1-C3)alkyl; Z is -(C1-C8)alkyl, -(C3-C8)cycloalkyl, -(4 to 8 member)  
heterocycloalkyl, Ph or -(5 to 7 membered) heteroaryl or heterocyclic;  
R14 is F, Cl, Br, I, V, H, -NR16R17, -OR16, -C(O)NR16R17, -(SO2)NR16R17, or

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L. ANSWER SHEET 12 CARLOS M. H. 1800 PAGES ON SYN  
 ACCESSION NUMBER: 2005/286363 CAPLUS  
 DOCUMENT NUMBER: 143:7567  
 TITLE: Design, synthesis and activity of novel derivatives  
 of  
 Oxycbutynin and Tolterodine  
 AUTHOR(S): Kaur, Kirandeep; Aeron, Shelly; Bruhaspathy, 16  
 Miriyala; Shetty, Shankar J.; Gupta, Suman; Hegde, Lakshminaray  
 H.; Silankoti, Arun D. V.; Mehta, Anita; Chugh,  
 Anita;  
 Gupta, Jang B.; Sarma, P. K. S.; Kumar, Naresh  
 CORPORATE SOURCE: Department of Medicinal Chemistry, New Drug Discove  
 Research, Ranbaxy Research Laboratories, Haryana, 1  
 001, India  
 SOURCE: Biorganic & Medicinal Chemistry Letters (2005),  
 15(8), 2093-2096  
 CODEN: BMCLEB; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:7567  
 GI



AB Derivs. of Tolterodine and Oxybutynin have been designed using conformationally restricted azabicyclics as replacement for open-chain amines. The synthesis and structure-activity relationships are presented. I showed selectivity for M3 over M2 receptor. ✓  
IT 852359-91-2P 852359-92-3P  
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (stereoselective preparation, antimuscarinic activity, and structure-activity relationship of tolterodine analogs using stereoselective cyclopropanation, heterocyclization, and N-alkylation as the key steps)  
RN 852359-91-2 CAPLUS  
CN Phenol, 4-methyl-2-[3-{1(1R,2R,5S)-2-methyl-3-azabicyclo[3.1.0]hex-3-yl}-1-phenylpropyl]-, rel- (9CI) (CA INDEX NAME)  
Relative stereochemistry.

from (3-azabicyclo[3.1.0]hex-6-yl)methanol hydrochloride and involving 6-hydroxymethyl-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]amino)methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester.

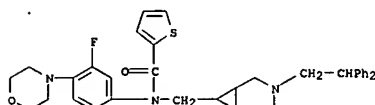
6-[1-[3-fluoro-4-(morpholin-4-yl)phenyl]thien-2-yl]carbonylamino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester and thiophene-2-carboxylic acid N-[(3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide trifluoroacetate as intermediates.

IT 96-78-78 3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide

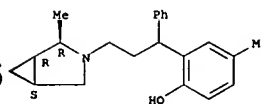
RL: PAC (Pharmaceutical activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

glycine (drug candidate; preparation of transporter inhibitors)

RN 850808-96-7 CAPIUS  
CN 2-Thiophenecarboxamide,  
N-[[3-(2,2-diphenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-N-[3-fluoro-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

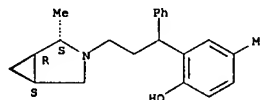


L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 852359-92-3 CAPLUS  
CN Phenol,  
4-methyl-2-[3-[(1R,2S,5S)-2-methyl-3-azabicyclo[3.1.0]hex-3-yl]-1-phenylpropyl]-, rel- (9CI) (CA INDEX NAME)

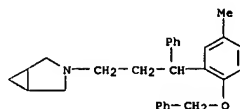
### Relative stereochemistry.



IT 659745-69-4P 659745-71-8P 659745-73-2P .  
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation);

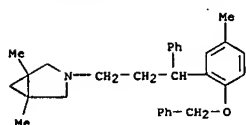
(synthetic preparation, drug (biological study), and (preparation, RACT  
 (Reactant or reagent)  
 (stereoselective preparation, antimucaric activity, and  
 structure-activity relationship of tolterodine analogs using  
 stereoselective cyclopropanation, heterocyclization, and N-alkylation

RN 659745-69-4 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 3-[3-[5-methyl-2-(phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

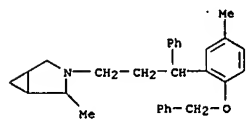


RN 659745-71-8 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 1,5-dimethyl-3-[3-[5-methyl-2-(phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

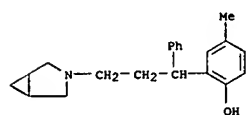


RN 659745-75-2 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 2-methyl-3-[3-(5-methyl-2-(phenylmethoxy)phenyl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)



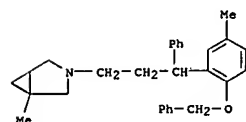
IT 659745-70-7P 659745-72-9P 659745-74-1P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (stereoselective preparation, antimuscarinic activity, and structure-activity relationship of tolterodine analogs using stereoselective cyclopropanation, heterocyclization, and N-alkylation as the key steps)

RN 659745-70-7 CAPLUS  
CN Phenol, 2-[3-(3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



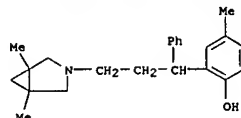
RN 659745-72-9 CAPLUS  
CN Phenol, 2-[3-(1,5-dimethyl-3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
(phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

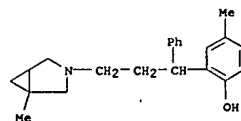


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

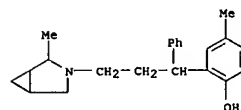


RN 659745-74-1 CAPLUS  
CN Phenol, 4-methyl-2-[3-(1-methyl-3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]- (9CI) (CA INDEX NAME)



IT 659745-76-3P  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process) (stereoselective preparation, antimuscarinic activity, and structure-activity relationship of tolterodine analogs using stereoselective cyclopropanation, heterocyclization, and N-alkylation as the key steps)

RN 659745-76-3 CAPLUS  
CN Phenol, 4-methyl-2-[3-(2-methyl-3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]- (9CI) (CA INDEX NAME)



IT 659745-73-0P  
RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective preparation, antimuscarinic activity, and structure-activity relationship of tolterodine analogs using stereoselective cyclopropanation, heterocyclization, and N-alkylation as the key steps)

RN 659745-73-0 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 1-methyl-3-[3-(5-methyl-2-

L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:142948 CAPLUS

DOCUMENT NUMBER: 140:181321

TITLE: Preparation of 3,6-Disubstituted azabicyclo[3.1.0]hexane derivatives useful as muscarinic receptor antagonists  
INVENTOR(S): Mehta, Anita; Sillimkoti, Arundutt V.; Bruhaspathy, Miriyala; Gupta, Jang Bahadur  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
SOURCE: PCT Int. Appl., 24 pp.  
DOC. NO.: PIXND2

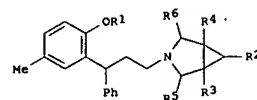
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014363	A1	20040219	WO 2002-183167	20020809
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, ME, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CP, CG, CI, CM, GN, GQ, GW, ML, MR, NE, NI, TD, TG			
AU 2002321711	A1	20040225	AU 2002-321711	20020809
EP 1545508	A1	20050629	EP 2002-755432	20020809
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LV, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CZ, AL, TR, BG, CZ, DE, SK			
US 2006142371	A1	20060629	US 2006-524081	20060224
PRIORITY APPLN. INFO.:			WO 2002-183167	A 20020809

OTHER SOURCE(S): MARPAT 140:181321

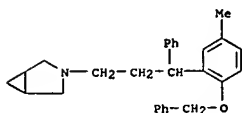
GI



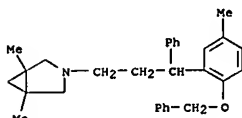
AB The authors claim the 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. I [R1 = H, (perhalo)alkyl, aryl, aralkyl; R2 = H, (perhalo)alkyl, aralkyl, alkylamino, alkoxyalkyl, alkoxyaryl, alkoxyalkoxycarbonyl; R3, R4, R5, R6 = independently H, (perhalo)alkyl, cyano, OH, NO2, alkoxyalkoxycarbonyl, F, Cl, iodo, Br, (perhalo)alkoxy, (alkyl)amino] and their pharmaceutical compns. as muscarinic receptor antagonists. More specifically, they prepared I

(R1 = CH2Ph, H, R2 = R6 = H; R3, R4, R5 = H, Me). As muscarinic receptor

L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 of antagonists, the compds. would be useful, inter-alia, for the treatment  
 various diseases of the respiratory, urinary and gastrointestinal systems  
 mediated through muscarinic receptors.  
 IT 659745-69-4P 659745-71-8P 659745-73-0P  
 659745-75-2P  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES  
 (Uses)  
 (preparation and muscarinic receptor antagonist activity of  
 azabicyclo[3.1.0]hexane derivs. for treating respiratory, urinary, and  
 gastrointestinal diseases)  
 RN 659745-69-4 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[3-[5-methyl-2-(phenylmethoxy)phenyl]-3-  
 phenylpropyl]- (9CI) (CA INDEX NAME)

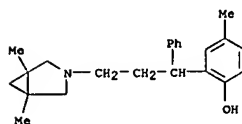


RN 659745-71-8 CAPLUS  
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 phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

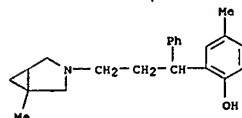


RN 659745-73-0 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 1-methyl-3-[3-[5-methyl-2-(  
 phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

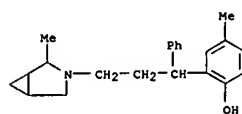
L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 659745-74-1 CAPLUS  
 CN Phenol, 4-methyl-2-[3-(1-methyl-3-azabicyclo[3.1.0]hex-3-yl)-1-  
 phenylpropyl]- (9CI) (CA INDEX NAME)



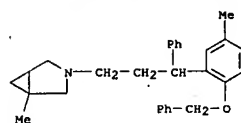
RN 659745-76-3 CAPLUS  
 CN Phenol, 4-methyl-2-[3-(2-methyl-3-azabicyclo[3.1.0]hex-3-yl)-1-  
 phenylpropyl]- (9CI) (CA INDEX NAME)



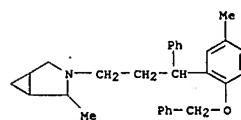
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

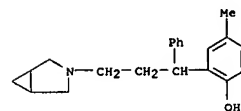
L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 659745-75-2 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 2-methyl-3-[3-[5-methyl-2-(  
 phenylmethoxy)phenyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)



IT 659745-70-7P 659745-72-9P 659745-74-1P  
 659745-76-3P  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (preparation and muscarinic receptor antagonist activity of  
 azabicyclo[3.1.0]hexane derivs. for treating respiratory, urinary, and  
 gastrointestinal diseases)  
 RN 659745-70-7 CAPLUS  
 CN Phenol, 2-[3-(3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]-4-methyl-  
 (9CI) (CA INDEX NAME)



RN 659745-72-9 CAPLUS  
 CN Phenol,  
 2-[3-(1,5-dimethyl-3-azabicyclo[3.1.0]hex-3-yl)-1-phenylpropyl]-4-  
 methyl- (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

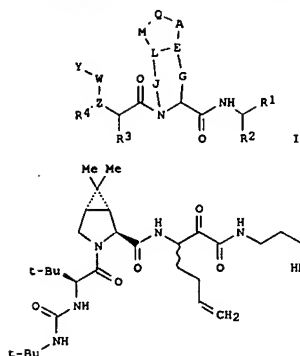
ACCESSION NUMBER: 2003:591204 CAPLUS  
 DOCUMENT NUMBER: 139:149928  
 TITLE: Preparation of peptides as NS3-serine protease  
 inhibitors of hepatitis C virus  
 INVENTOR(S): Saksena, Anil K.; Girijavallabh, Viyyoor M.; Lovey,  
 Raymond G.; Jao, Edwin; Bennett, Frank; McCormick,  
 Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen,  
 Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu,  
 Zhaoning; Njoroge, George F.; Arasappan, Ashok;  
 Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.;  
 Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto,  
 Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey;  
 Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura,  
 Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua;  
 Wong, Jesse K.; Nair, Latha G.  
 Schering Corporation, USA; Corvas International,  
 Inc.;  
 SOURCE: Dendreon Corp.  
 PCT Int. Appl., 633 pp.  
 DOCUMENT TYPE: CODEN: PXXXX  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION: 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GI, KE, LS, MW, ME, SD, SE, SL, SZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2007032433	A1	20070208	US 2002-52386	20020118
CA 2473032	A1	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AR, TR, BG, CZ, EE, HU, SK				
BR 2003006931	A	20050419	BR 2003-6931	20030116
JP 2005524628	T	20050818	JP 2003-562142	20030116
NO 2004002792	A	20041015	NO 2004-2792	20040702
IN 2004CN01564	A	20060224	IN 2004-CN1564	20040715
PRIORITY APPLN. INFO.:			US 2002-52386	A 20020118
			US 2000-220108P	P 20000721
			US 2001-908955	A2 20010719
			WO 2003-US1430	W 20030116

OTHER SOURCE(S): MARPAT 139:149928  
 GI



L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkoxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, O, G, J, L, M independently may be present or absent; W is CO, CS, C(=N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with proviso) which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed KI = 1-100 nM (category A) in the HCV continuous assay.

IT 395652-89-8P  
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
Preparation of peptides as NS3-serine protease inhibitors of hepatitis C

L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:90062 CAPLUS  
DOCUMENT NUMBER: 136:167698  
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus  
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopill; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell  
E.: Bögen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Manli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.  
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.  
SOURCE: PCT Int. Appl., 536 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

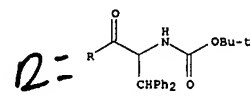
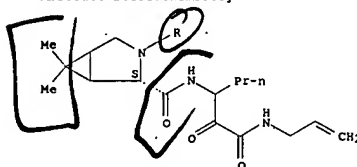
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2410662	A1	20020131	CA 2001-2410662	20010719
AU 200176988	A	20020205	AU 2001-76988	20010719
BR 2001012540	A	20030624	BR 2001-12540	20010719
EP 1385870	A2	20040204	EP 2001-954764	20010719
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004504404	T	20040212	JP 2002-514149	20010719
CN 1498224	A	20040519	CN 2001-813111	20010719
HU 200401730	A2	20041228	HU 2004-1730	20010719
NZ 523782	A	20051028	NZ 2001-523782	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
IN 2003CN0089	A	20050408	IN 2003-CN89	20030116
NO 2003000272	A	20030321	NO 2003-272	20030120
PRIORITY APPLN. INFO:			US 2000-220108P	P 20000721
			WO 2001-US22678	W 20010719

OTHER SOURCE(S): MARPAT 136:167698  
GI

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 395652-89-8 CAPLUS  
CN Carbamic acid, [1-[[[(2S)-6,6-dimethyl-2-[[[1-oxo(2-propenylamino)acetyl]butyl]amino]carbonyl]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]-2,2-diphenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

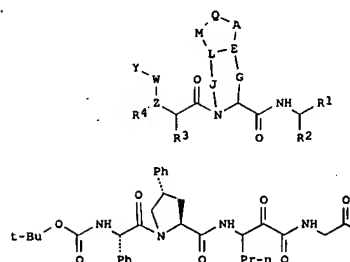


Q=

AK-PH

PH

L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



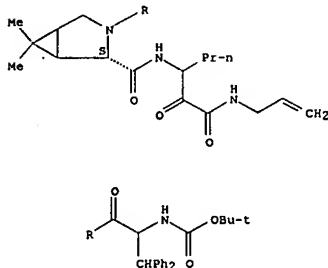
AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkoxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, O, G, J, L, M independently may be present or absent; W is C=O, C=S, C(=N-CN), or SO2; Q is CH, N, P, alkylidene, O, amine, S, or SO2; A is O, CH, alkylidene, amine, S, SO or bonds; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR, S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the group consisting of H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen, (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with KI ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

IT 395652-89-8P  
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

RN 395652-89-8 CAPLUS  
CN Carbamic acid, [1-[[[(2S)-6,6-dimethyl-2-[[[1-oxo(2-propenylamino)acetyl]butyl]amino]carbonyl]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]-2,2-diphenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

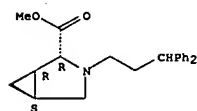
L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
yl]carbonyl]-2,2-diphenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine  
Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays  
to measure interaction of compds. with the glycine site on the NMDA receptor  
IT 200006-33-3P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amino acid derivs. as pharmaceuticals for treatment of  
neurol. and neuropsychiatric disorders)  
RN 200006-33-3 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane-2-carboxylic acid, 3-(3,3-diphenylpropyl)-,  
methyl ester, (1R,2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

column 52  
compd B11

L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2001:132748 CAPLUS  
DOCUMENT NUMBER: 134:178816  
TITLE: Preparation of amino acid derivatives as  
pharmaceuticals for treatment of neurological and  
neuropsychiatric disorders  
INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence A.; Bell,  
Stanley Charles; Zhang, Jing  
PATENT ASSIGNEE(S): Allelix Neuroscience Inc., USA  
SOURCE: U.S., 52 pp., Cont.-in-part of U. S. Ser. No.656,063,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6191165	B1	20010220	US 1997-866007	19970530
IL 127244	A	20051120	IL 1997-127244	19970529
US 2001012857	A1	20010809	US 2001-757011	20010109
US 7019024	B2	20060328		
US 2006287298	A1	20061221	US 2005-259583	20051026
PRIORITY APPLN. INFO.:			US 1996-41503P	P 19960531
			US 1996-41504P	P 19960531
			US 1996-655912	B2 19960531
			US 1996-656063	B2 19960531
			US 1997-44387P	P 19970227
			US 1997-70900P	P 19970227
			US 1997-808754	B2 19970227
			US 1997-808755	A2 19970227
			US 1997-807682	A 19970227
			US 1997-866007	A3 19970530
			US 2001-757011	A3 20010109

OTHER SOURCE(S): MARPAT 134:178816  
AB Amino acid deriva. R2RxRyXR1NR3(R3\*)nCR4R4\*R5 [X = N, C (R2 not present  
when X = N); R2 = H, alkyl, alkoxy, cyano, alkanoyl, etc.; Rx, Ry = aryl,  
heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond,  
alkylene, etc.; R1 = alkylene, iminoxyethylene, etc.; R3 = H, alkyl,  
(un)substituted Ph or phenylalkyl, etc.; R3\* = alkyl, Or n = 0, 1; R4,  
R4\* = H, alkyl, hydroxyalkyl; R5 = (un)substituted carbamoyl, carboxy,  
aminosulfonyl, phosphoryl, etc.] were prepared as pharmaceuticals for  
treatment of neurol. and neuropsychiatric disorders. Thus,

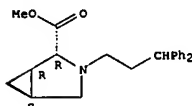
L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1997:803807 CAPLUS  
DOCUMENT NUMBER: 128:48490  
TITLE: Preparation of amino acid derivatives as  
pharmaceuticals for treatment of neurological and  
neuropsychiatric disorders  
INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence; Bell,  
Stanley Charles; Zhang, Jing  
PATENT ASSIGNEE(S): Trophix Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 107 pp.  
CODEN: PIXXZ  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745115	A1	19971204	WO 1997-US9450	19970529
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN RW: GH, KE, LS, MW, SD, SZ, UG, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2254833	A1	19971204	CA 1997-2254833	19970529
AU 9731530	A	19980103	AU 1997-31530	19970529
AU 730789	B2	20010315		
EP 1014966	A1	20000705	EP 1997-926871	19970529
EP 1014966	B1	20060802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 332780	A	20000728	NZ 1997-332780	19970529
BR 9709501	A	20001107	BR 1997-9501	19970529
HU 200100815	A2	20010828	HU 2001-815	19970529
HU 200100815	A3	20021128		
CN 1327383	A	20011219	CN 1997-196821	19970529
JP 2002515037	T	20020521	JP 1997-543034	19970529
CZ 294348	B6	20041215	CZ 1998-4042	19970529
IL 127244	A	20051120	IL 1997-127244	19970529
AT 334668	T	20060815	AT 1997-926871	19970529
NO 9805711	A	19981207	NO 1998-5711	19981207
PRIORITY APPLN. INFO.:			US 1996-655912	A 19960531
			US 1996-656063	A 19960531
			US 1997-808754	A 19970227
			US 1997-808755	A 19970227
			US 1997-807682	A 19970227
			WO 1997-US9450	W 19970529

OTHER SOURCE(S): MARPAT 128:48490  
AB Amino acid deriva. R2RxRyXR1NR3(R3\*)nCR4R4\*R5 [X = N, C (R2 not present  
when X = N); R2 = H, alkyl, alkoxy, cyano, alkanoyl, etc.; Rx, Ry = aryl,  
heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond,  
alkylene, etc.; R1 = alkylene, iminoxyethylene, etc.; R3 = H, alkyl,

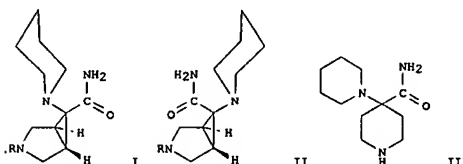
L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 R4\* (un)substituted Ph or phenylalkyl, etc.; R3\* = alkyl, O; n = 0, 1; R4,  
 = H, alkyl, hydroxyalkyl; R5 = (un)substituted carbamoyl, carboxy,  
 aminosulfonyl, phosphoryl, etc.] were prepd. as pharmaceuticals for  
 treatment of neurol. and neuropsychiatric disorders. Thus,  
 N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine  
 Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays  
 to measure interaction of compds. with the glycine site on the NMDA receptor  
 are illustrated.  
 IT 200006-33-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amino acid derivs. as pharmaceuticals for treatment of  
 neurol. and neuropsychiatric disorders)  
 RN 200006-33-3 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-2-carboxylic acid, 3-(3,3-diphenylpropyl)-,  
 methyl ester, (1R,2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



X

L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1996:469380 CAPLUS  
 DOCUMENT NUMBER: 125:195375  
 TITLE: A new access to  
 piperidinocyclopiperidinecarboxamides.  
 Constrained analogs of a pharmaceutical used diamine  
 building block  
 AUTHOR(S): Vilsmajer, Elmar; Grosse, Markus; Schlag, Wolf  
 Ruediger; Milch, Gunther; Bergtraesser, Uwe; Ritter  
 Von Oncil, Andreas  
 CORPORATE SOURCE: Fachbereich Chemie, Univ. Kaiserslautern,  
 Kaiserslautern, D-67663, Germany  
 SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung  
 (1996), 338(5), 479-484  
 CODEN: JPCCEM; ISSN: 0941-1216  
 PUBLISHER: Barth  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

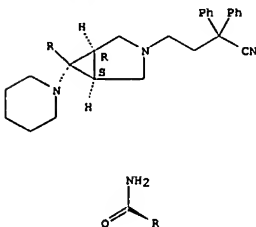


AB The azabicyclohexane diastereomers I and II (R = H) represent  
 conformationally rigid analogs of piperidinopiperidine III which is a  
 building block for some pharmaceutical compds. A new access route to I  
 and II was found via the cleavage of bicyclic N,N-acetal with hydrocyanic  
 acid as the stereodetermining step. Reaction of I and II with  
 bromodiphenylbutyronitrile gave cyclopipitramide isomers IV and V (R =  
 CH2CH2CPh2CN, IV and V), resp. Qual. preliminary investigations showed  
 different affinities of IV and V to the opiate-μ receptor. These  
 results were discussed on the basis of an x-ray structural anal. of V. I  
 and II (R = CH2Ph) were used as model systems for studying the  
 conformation of IV and V, resp.  
 IT 180551-94-9P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (crystal structure of)  
 RN 180551-94-9 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide,  
 3-(3-cyano-3,3-diphenylpropyl)-6-  
 -(1-piperidinyl)-, (1a,5a,6a)-, compd. with methanol  
 (1:1) (9CI) (CA INDEX NAME)  
 CN 1

L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 180684-08-6  
 CHF C27 H32 N4 O

Relative stereochemistry.



X

CM 2

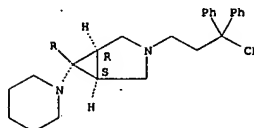
CRN 67-56-1  
 CHF C H4 O

H3C-OH

IT 180684-08-6P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn of cyclopiperidinecarboxamides as precursors for piritramide)  
 RN 180684-08-6 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide,  
 3-(3-cyano-3,3-diphenylpropyl)-6-  
 -(1-piperidinyl)-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

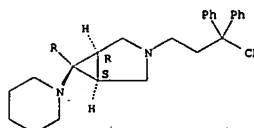
L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



X

IT 180572-07-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn of cyclopiperidinecarboxamides as precursors for piritramide).  
 RN 180572-07-0 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide,  
 3-(3-cyano-3,3-diphenylpropyl)-6-  
 -(1-piperidinyl)-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

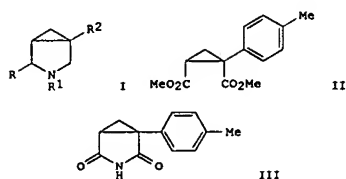


X

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1984:438344 CAPLUS  
 DOCUMENT NUMBER: 101:38344  
 TITLE: Treating depression using azabicyclohexanes  
 INVENTOR(S): Epstein, Joseph W.; Osterberg, Arnold C.; Brabander, Herbert J.  
 PATENT ASSIGNEE(S): American Cyanamid Co., USA  
 SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 279,366, abandoned.  
 CODEN: USXXAM  
 Patent  
 English  
 DOCUMENT TYPE: English  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

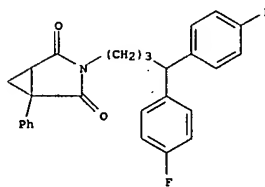
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4435419	A	19840306	US 1982-376131	19820510
IL 65843	A	19861231	IL 1982-65843	19820521
DE 3223463	A1	19830505	DE 1982-3223463	19820623
DE 3223463	C2	19910502		
ZA 8201689	D	19830427	ZA 1982-A1689	19820630
JP 58013568	A	19830126	JP 1982-112646	19820701
			US 1981-279366	A2 19810701
PRIORITY APPLN. INFO.:			IL 1977-52700	A 19770811

OTHER SOURCE(S): CASREACT 101:38344; HARPAT 101:38344  
 GI

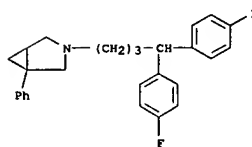


AB Azabicyclohexanes I [R = H, alkyl; R1 = H, (un)substituted alkyl; R2 = (un)substituted Ph] were prepared. Thus, 4-MeC6H4CH2CO2H was treated with SOCl2, N-bromosuccinimide, and MeOH to give 4-MeC6H4CHBrCO2Me, which, when treated with CH2:CHCO2Me-NaH, gave the cis-cyclopropanedicarboxylate II. Hydrolysis of II gave the diacid, which, when heated with urea, gave the cyclopropanedicarboximide III. III was reduced by Vitride to give I (R = R1 = H, R2 = C6H4Me-4) (IV). IV.HCl at 25 mg/kg protected mice against

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 tetraabenazine-induced depression and was active in the stress-induced depressed behavior test.  
 IT 66505-01-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydride reduction of)  
 RN 66505-01-9 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-2,4-dione, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl- (9CI) (CA INDEX NAME)



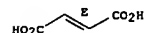
IT 90774-73-5P 90774-74-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 90774-73-5 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 66504-99-2  
 CMF C27 H27 F2 N



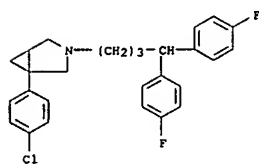
CM 2  
 CRN 110-17-B

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 CMF C4 H4 O4

Double bond geometry as shown.

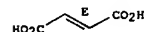


RN 90774-74-6 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 66505-03-1  
 CMF C27 H26 Cl F2 N



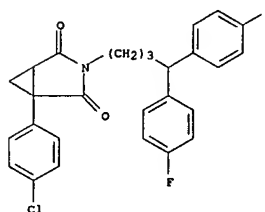
CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



IT 66505-02-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reduction of, by borane)  
 RN 66505-02-0 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-2,4-dione, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

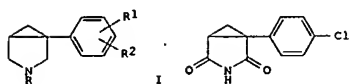
L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1983:422310 CAPLUS  
 DOCUMENT NUMBER: 99:22310  
 TITLE: Azabicyclohexanes  
 INVENTOR(S): Epstein, Joseph W.; Osterberg, Arnold C.; Brabander, Herbert J.  
 PATENT ASSIGNEE(S): American Cyanamid Co., USA  
 SOURCE: Belg., 114 pp. Addn. to Belg 858,683.  
 CODEN: BEXXAL  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 893707	A4	19821230	BE 1982-208496	19820630
BE 858683	A1	19780314	BE 1977-180894	19770914
IL 65843	A	19861231	IL 1982-65843	19820521
PRIORITY APPLN. INFO.:			BE 1977-858683	A 19770914
			US 1981-279366	A 19810701
			BE 1977-180894	19770914
			US 1976-723402	A 19760915
			IL 1977-52700	A 19770811

GI

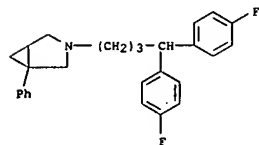


AB Title compds. I [R = H, 1-(phenylcarbamoyl)alkyl group; R1 and R2 independently are H, halo, alkanoyl, alkylamino, dialkylamino, phenylalkyl, phenylalkanoyl, 1-hydroxyalkyl group] were prepared, and they exhibited antidepressant activity. 1-(4-Chlorophenyl)-cis-1,2-cyclopropanedicarboxylic acid was heated with urea to yield imide II, and the latter was reduced by NaAl(OCH<sub>2</sub>CH<sub>2</sub>OMe)<sub>2</sub>H<sub>2</sub> to give I (R = R1 = H, R2 = 4-Cl).

IT 66505-01-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydride reduction of)

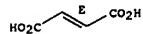
RN 66505-01-9 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane-2,4-dione, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

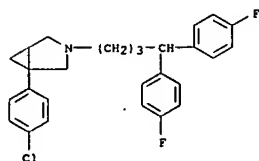


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



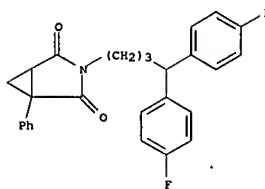
RN 66505-03-1 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 66505-04-2 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

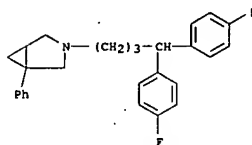
CM 1  
 CRN 66505-03-1  
 CMF C27 H26 Cl F2 N

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 66504-99-2P 66505-00-8P 66505-03-1P  
 66505-04-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

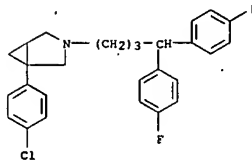
RN 66504-99-2 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 66505-00-8 CAPLUS  
 CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

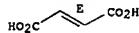
CM 1  
 CRN 66504-99-2  
 CMF C27 H27 F2 N

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:  
DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):  
SOURCE:DOCUMENT TYPE:  
LANGUAGE:

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

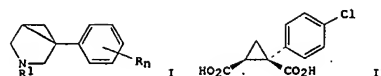
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2740562	A1	19780323	DE 1977-2740562	19770908
DE 2740562	C2	19800503		
US 4118417	A	19781003	US 1977-809340	19770623
US 4118393	A	19781003	US 1977-809341	19770623
US 4131611	A	19781226	US 1977-809339	19770623
GB 1590902	A	19810610	GB 1980-1045	19770811
GB 1590903	A	19810610	GB 1980-1792	19770811
IL 52700	A	19830515	IL 1977-52700	19770811
CA 1124721	A1	19820601	CA 1977-285206	19770822
AU 7728441	A	19790308	AU 1977-28441	19770901
AU 519620	B2	19811217		
NL 7709691	A	19780317	NL 1977-9691	19770902
NL 189041	B	19920716		
NL 189041	C	19921216		
ES 462288	A1	19781201	ES 1977-462288	19770912
CH 637929	A5	19830831	CH 1977-11189	19770913
DK 7704075	A	19780316	DK 1977-4075	19770914
DK 146245	B	19830808		
DK 146245	C	19840116		
FR 2375212	A1	19780721	FR 1977-27755	19770914
FR 2375212	B1	19810731		
SU 786891	A3	19801207	SU 1977-2524809	19770914
HU 19956	A2	19810528	HU 1977-AE508	19770914
HU 177531	B	19811128		
PL 115869	B1	19810530	PL 1977-200831	19770914
PL 115879	B1	19810530	PL 1977-217472	19770914
AT 7706615	A	19811015	AT 1977-6615	19770914
AT 367036	B	19820525		
SE 7710367	A	19780316	SE 1977-10367	19770915
SE 435384	B	19840924		
SE 435384	C	19850110		
DD 133943	A5	19790131	DD 1977-201039	19770915
ES 462388	A1	19790716	ES 1977-462388	19770915
JP 53037656	A	19780406	JP 1977-110647	19770916
JP 61029341	B	19860705		
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DK 8004384 A 19801016 DK 1980-4384 19801016  
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CH 1977-11189 A 19770913  
AT 1977-6615 A 19770914  
DK 1977-4075 A 19770914

GI



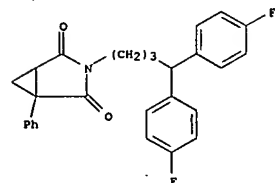
AB Optically active or racemic I (R = halogen, Cl-6 alkyl or alkoxy, CF<sub>3</sub>, NO<sub>2</sub>, OH, etc.; n = 0-2; R<sub>1</sub> = H, alkyl, phenylalkyl, p-fluorobenzoylalkyl) and their pharmaceutically acceptable salts were prepared. Thus, the

diacid II was heated with urea to give the cyclic imide, which was reduced with NaAlH<sub>2</sub>(OCH<sub>2</sub>CH<sub>2</sub>OMe)<sub>2</sub> to I (R<sub>n</sub> = 4-Cl, R<sub>1</sub> = H). I are useful as analgesics.

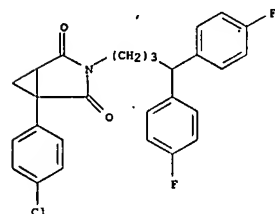
IT 66503-01-9P 66505-02-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)  
RN 66505-01-9 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane-2,4-dione, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



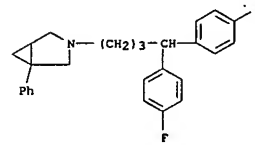
RN 66505-02-0 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane-2,4-dione, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



IT 66505-00-8P 66505-04-2P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
RN 66505-00-8 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

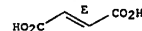
CM 1  
CRN 66504-99-2  
CMF C27 H27 F2 N

L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



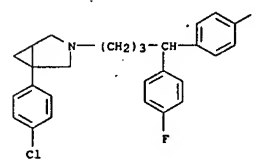
CM 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 66505-04-2 CAPLUS  
CN 3-Azabicyclo[3.1.0]hexane, 3-[4,4-bis(4-fluorophenyl)butyl]-1-(4-chlorophenyl)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1  
CRN 66505-03-1  
CMF C27 H26 Cl F2 N



CM 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

